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      8
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     9
         JUN 02
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NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18 SEP 11 CA/CAplus enhanced with more pre-1907 records
NEWS 19
         SEP 21 CA/CAplus fields enhanced with simultaneous left and right
                truncation
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chain nodes :

10

ring nodes : 2 2 3 4 5 6 7 8 9

ring/chain nodes:

11

chain bonds :

4-11 6-10

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

2-7 4-11 6-10 7-8

exact bonds :

3-9 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1:

G1:H,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS

L1STRUCTURE UPLOADED

=> d 11 $^{-}$

L1 HAS NO ANSWERS

L1

G1 H, Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:41:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED

25 ITERATIONS

25 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

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PROJECTED ITERATIONS:

200 TO 800 ·

PROJECTED ANSWERS:

200 TO 800

· L2 25 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:41:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 515 TO ITERATE

100.0% PROCESSED 515 ITERATIONS

492 ANSWERS

SEARCH TIME: 00.00.01

L3 492 SEA SSS FUL L1

=> file caplus

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ENTRY

SESSION

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166.94 167.15

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=> s 13

L4 14 L3

=> d 14 ibib hitstr abs 1-14

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:16623 CAPLUS

DOCUMENT NUMBER:

144:184021

TITLE:

Hit-to-Lead studies: The discovery of potent, orally

bioavailable thiazolopyrimidine CXCR2 receptor

antagonists

AUTHOR(S):

Baxter, Andrew; Cooper, Anne; Kinchin, Elizabeth;

Moakes, Kerry; Unitt, John; Wallace, Alan

CORPORATE SOURCE:

AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK Bioorg. Med. Chem. Lett. (2006), 16(4), 960-963

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SOURCE:

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

IT 259100-40-8 259100-45-3 259100-69-1 259100-74-8 259101-51-4 259101-52-5 259101-53-6 259101-55-8 874963-36-7

874963-40-3

RL: PAC (Pharmacological activity); BIOL (Biological study)

(discovery of potent, orally bioavailable thiazolopyrimidine CXCR2 receptor antagonists)

RN 259100-40-8 CAPLUS

CN: 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7- yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259100-45-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-

$$\begin{array}{c|c} \text{HO-CH}_2\text{-CH}_2\text{-NH} \\ \hline \\ \text{N} \\ \text{Me- (CH}_2)_4\text{-S} \\ \end{array}$$

RN 259100-69-1 CAPLUS

CN 1-Propagol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(9CI) (CA INDEX NAME)

HO- (CH₂)₃-NH
$$N \longrightarrow N \longrightarrow N$$
Me- (CH₂)₄-S
$$N \longrightarrow N \longrightarrow N$$

RN 259100-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopentyl-5-(pentylthio)-(9CI) (CA INDEX NAME)

RN 259101-51-4 CAPLUS

CNyor.1-Butanol, *2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5- \$400.000 for \$200.000 d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 259101-52-5 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-53-6 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-55-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

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RN 874963-36-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N,N-diethyl-5-(pentylthio)- (9CI) (CA INDEX NAME)

Me- (CH₂)
$$4$$
-S N NH₂ NH₂ N NH₂ N NH₂

RN 874963-40-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

IT 259100-39-5 259101-56-9

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Piological attudu)

(Biological study)

(discovery of potent, orally bioavailable thiazolopyrimidine CXCR2 receptor antagonists)

RN 259100-39-5 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-56-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

AB A Hit-to-Lead optimization program was carried out on a high throughput screening hit, the thiazolopyrimidine (I), resulting in the discovery of the potent, orally bioavailable CXCR2 antagonist II.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

.2005:547606 CAPLUS

DOCUMENT NUMBER:

143:78206

TITLE:

Process for preparation of 5-difluorobenzylthio-7-

aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via

protection and amination reactions.

INVENTOR(S):

Butters, Michael; Wisedale, Richard; Thomson, Colin;

Welham, Matthew James; Watts, Andrew

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 25 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	CENT :	NO.			KIND		DATE		i	APPL:	ICAT:		DATE				
					A2 20050623 A3 20050825			Ī	WO 2	004-	20041202						
WO	2005056563 W: AE, AG, AL,				A3				BA.	BB.	BG.	BR.	BW.	BY.	B7.	CA.	CH.
	•••	•	•	•	•	•	DE,	•	•		-	-		-			
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	TG											
ΑU	2004	2962	41		A1		2005	0623		AU 2	004-		20041202				

CA 2546719 AA 20050623 PRIORITY APPLN. INFO.:

CA 2004-2546719 20041202 GB 2003-28243 A 20031205 WO 2004-GB5072 W 20041202

LONGER WA

OTHER SOURCE(S):

MARPAT 143:78206

IT 676345-23-6P 855476-56-1P 855476-57-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; preparation of

difluorobenzylthioaminothiazolopyrimidinones

via protection and amination reactions)

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

RN 855476-56-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]-, monopotassium salt (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

K

RN 855476-57-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt
(9CI) (CA INDEX NAME)

• к

IT 333742-48-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

计统制控制

RN 333742-48-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

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$$O = \bigvee_{\substack{N \\ N}}^{NR^2R^3} \bigvee_{SR^1} \bigcup_{I} O = \bigvee_{\substack{N \\ Q}}^{N} \bigvee_{SR^1} \bigcup_{II}$$

AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepared by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (preparation given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran

stirred with aqueous NaHCO3 and then brine and the resulting solution was heated with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one. ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:324167 CAPLUS DOCUMENT NUMBER: 142:392432 TITLE: Preparation of new 2-substituted-4-aminothiazolo[4,5d]pyrimidines and pteridinones useful as CX3CR1 chemokine receptor antagonists INVENTOR(S): Nordvall, Gunnar; Rein, Tobias; Sohn, Daniel; Zemribo, Ronald PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 71 pp. 2. 3 20525 CODEN: PIXXD2 1.75 St 155 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005033115 20050414 WO 2004-SE1421 A1 20041005 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004278276 **A**1 20050414 AU 2004-278276 20041005 20041005 CA 2541533 20050414 CA 2004-2541533 AΑ EP 2004-775512 EP 1675862 Α1 20060705 20041005 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR PRIORITY APPLN. INFO.: SE 2003-2666 A 20031007 SE 2003-2667 A 20031007 WO 2004-SE1421 W 20041005 OTHER SOURCE(S): MARPAT 142:392432 849942-83-2P 849942-86-5P 849942-88-7P, (2R) - 2 - [[2 - Amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 3] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] [1, 4] thiazolo [4, 5 - amino - 5 - [[2 - (2 - bromophenyl) ethyl] sulfinyl] sulfinyl] [1, 4] thiazolo [4, 4] td]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 849942-90-1P, $(R)-2-[[2-A\min o-5-[[2-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl][1,4]thiazolo[4,5-(2-bromophenyl)ethyl]sulfinyl[4,5-(2-bromophenyl)ethyl]sulfinyl[4,5-(2-bromophenyl)ethyl]sulfinyl[4,5-(2-bromophenyl)ethyl]sulfinyl[4,5-(2-bromophenyl)ethyl[4,5-(2-bro$ d]pyrimidin-7-yl] (methyl)amino]-4-methylpentan-1-ol 849943-44-8P , 5-[[2-(3-Chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-49-3P, 5-[[2-(2-Bromophenyl)ethyl]sulfinyl]-7-[[(1R)-1-((hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one 849943-51-7P, 5-[(2,3-Difluorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-

one 849943-52-8P, 5-(Benzylsulfinyl)-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one

2500 8

over 1 h and maintained at 60° for 2 h. The mixture was cooled.

849943-55-1P, 5-[(2-Chlorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one 849943-57-3P, 5-[(4-Chlorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one 849943-59-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of new 2-substituted-4-amino-thiazolo[4,5d]pyrimidines useful as CX3CR1 chemokine receptor antagonists) RN849942-83-2 CAPLUS 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]sulfinyl]thiazo CN lo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-86-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(4-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-88-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 849942-90-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-44-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-49-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]sulfinyl]-7-[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

RN 849943-51-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfiny l]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-52-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-55-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 849943-57-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]sulfinyl]-7[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-59-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 259101-09-2, (2R)-2-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 259101-69-4,

Absolute stereochemistry.

RN 259101-69-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-29-2 CAPLUS

CN 1-Pentanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-27-7 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 463954-27-0P, [1-[[2-Amino-5-(benzylthio)][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]cyclopentyl]methanol 849942-72-9P,
 (2R)-2-[[2-Amino-5-(benzylsulfonyl)][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 849942-77-4P, (2R)-2-[[2-Amino-5-(benzylthio)][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-methylpentan-1-ol 849942-78-5P, (2R)-2-[[2-Amino-5-(benzylsulfonyl)][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-methylpentan-1-ol 849942-84-3P, (2R)-2-[(2-Amino-5-mercapto[1,3]thiazolo[4,5-d]pyrimidin-7-yl)(methyl)amino]-4-methylpentan-1-ol 849942-85-4P, (2R)-2-[[2-Amino-5-[(4-bromo-2-

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fluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-
methylpentan-1-ol 849942-87-6P, (2R)-2-[[2-Amino-5-[[2-(4-
bromophenyl)ethyl]thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-
methylpentan-1-ol 849942-89-8P, (2R)-2-[[2-Amino-5-[[2-(2-
bromophenyl)ethyl]thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-
methylpentan-1-ol_849943-10-8P, (2R)-2-[[2-Chloro-5-[(2,3-
difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-
methylpentan-1-ol 849943-11-9P, (2R)-2-[[5-[(2,3-
Difluorobenzyl)thio]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-
methylpentan-1-ol 849943-13-1P, 5-[(2,3-Difluorobenzyl)sulfonyl]-
7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-
d]pyrimidin-2(3H)-one 849943-17-5P, (2R)-2-[[2-Amino-5-
(benzylthio)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]pentan-1-ol
849943-18-6P, (2R)-2-[[5-(Benzylthio)-2-chloro[1,3]thiazolo[4,5-
d]pyrimidin-7-yl]amino]pentan-1-ol 849943-19-7P,
(2R)-2-[[5-(Benzylthio)-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-
yl]amino]pentan-1-ol 849943-20-0P, 5-(Benzylthio)-7-[[(1R)-1-
(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-21-1P, 5-(Benzylsulfonyl)-7-[[(1R)-1-
(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-26-6P, (2R)-2-[[5-(Benzylthio)-2-chloro[1,3]thiazolo[4,5-
d]pyrimidin-7-yl]amino]-3-methylbutan-1-ol 849943-28-8P,
(2R)-2-[[5-(Benzylthio)-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-
3-methylbutan-1-ol\ 849943-30-2P,\ 5-(Benzylsulfonyl)-7-[[(1R)-1-
(hydroxymethyl)-2-methylpropyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-32-4P, 5-(Benzylthio)-7-[[1-
(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-33-5P, 5-(Benzylsulfonyl)-7-[[1-
(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-45-9P, (2R)-2-[[2-Chloro-5-[2-chloro-7-[((1R)-1-1)]]
hydroxymethyl-3-methylbutyl)amin@[[1,3]thiazolo[4,5-d]pyrimidin-5-
yldisulfanyl][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol
849943-46-0P, (2R)-2-[[5-[7-[((1R)-1-Hydroxymethyl-3-
methylbutyl)amino]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-5-yldisulfanyl]-
2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol
849943-47-1P 849943-48-2P, 5-[[2-(3-
Chlorophenyl) ethyl] thio] -7-[[(1R)-1-(hydroxymethyl)-3-
methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-50-6P, 5-[[2-(2-Bromophenyl)ethyl]thio]-7-[[(1R)-1-
(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-53-9P, (2R)-2-[[5-(Benzylthio)-2-
methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol
849943-56-2P, 5-[(2-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-
3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-58-4P, 5-[(4-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-
3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (preparation of new 2-substituted-4-amino-thiazolo[4,5-d]pyrimidines useful
   as CX3CR1 chemokine receptor antagonists)
463954-27-0 CAPLUS
Cyclopentanemethanol, 1-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-
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d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN

CN

RN 849942-72-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-77-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-78-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 849942-84-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]methylamino]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 849942-85-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-87-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(4-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 849942-89-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-10-8 CAPLUS

CN 1-Pentanol, 2-[[2-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-11-9 CAPLUS

CN 1-Pentanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 849943-13-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfony 1]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-17-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-18-6 CAPLUS

CN 1-Pentanol, 2-[[2-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 849943-19-7 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-20-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-21-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 849943-26-6 CAPLUS

CN 1-Butanol, 2-[[2-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-28-8 CAPLUS

CN 1-Butanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-30-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 84.9943-32-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 849943-33-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 849943-45-9 CAPLUS

CN 1-Pentanol, 2,2'-[dithiobis[(2-chlorothiazolo[4,5-d]pyrimidine-5,7-diyl)imino]]bis[4-methyl-, (2R,2'R)- (9CI) (CA INDEX NAME)

RN

849943-46-0 CAPLUS 1-Pentanol, 2,2'-[dithiobis[(2-methoxythiazolo[4,5-d]pyrimidine-5,7-CN diyl)imino]]bis[4-methyl-, (2R,2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849943-47-1 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5,5'-dithiobis[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME) CN

RN 849943-48-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-50-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

849943-53-9 CAPLUS RN

1-Pentanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-CN yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849943-56-2 CAPLUS RN

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849943-58-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

IT 463954-11-2 849943-60-8 849943-61-9

RL: PRP (Properties)

(solubility comparison to ether analog; preparation of new

2-substituted-4-amino-

thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)

RN 463954-11-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-60-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(2-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 849943-61-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(3-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

IT 849942-91-2P 849943-12-0P 849943-29-9P 849943-54-0P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solubility comparison to ether analog; pareparation of new 2-substituted-4-amino-

thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)

RN 849942-91-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-12-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

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RN 849943-29-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry...

RN 849943-54-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

There are disclosed 2-substituted-4-aminothiazolo[4,5-d]pyrimidines and pteridinones (shown as I; variables defined below; e.g. 5-(benzyloxy)-7-[((1R)-1-(hydroxymethyl)-3-methylbutyl)amino](1,3)thiazolo[4,5-d]pyrimidin-2(3H)-one (shown as II)) and pharmaceutically acceptable salts thereof, together with processes for their preparation, pharmaceutical compns. comprising them and their use in therapy. I are CX3CR1 receptor antagonists and are thereby particularly useful in the treatment or prophylaxis of neurodegenerative disorders, demyelinating disease, atherosclerosis and pain. For I: A = 1,2-dihydro-2-oxo-3-R21pyrazine, 2-(R22R23N) thiazole, or 2-oxothiazoline; R1 and R2 = H, C1-8-alkyl, C2-8-alkenyl, C2-8-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; the latter 4 groups being optionally further substituted; R3 = C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; X = O or S(O); R21 = H, CH2OR24, CH2NR24R25, CO2R24 or CONR24R25; R22 andR23 = H, $\dot{c}1-6-alkyl$, C2-6-alkenyl or C3-7 saturated or partially unsatd. cycloalkyl; n = 0-2; R4-R20, R24, R25 = H or C1-6-alkyl; addnl. details are given in the claims. Methods of preparation are claimed and 49 example prepns. are included. For example, II was prepared in 5 steps (88, 88, 90, 82 and 16 % yields) starting from (2R)-2-[[2-amino-5-[(2,3difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol and involving intermediates (2R)-2-[[2-chloro-5-[(2,3difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol, (2R)-2-[[5-[(2,3-difluorobenzyl)thio]-2methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol, 5-[(2,3-difluorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one and 5-[(2,3-difluorobenzyl)sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3-(1R)-1-(hydroxymethyl)]methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one. When tested in a ligand binding assay, the 49 examples of I gave Ki values of <10 μM, indicating that they are expected to show useful therapeutic activity. For example, II and 5-[(2,3-difluorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one gave Ki values of 44.6 and 38.0 nM resp. Representative solubility data are shown in which 8 examples of I have much greater solubility than the corresponding thioether analogs of other inventions.

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ACCESSION NUMBER: 2004:267340 CAPLUS

DOCUMENT NUMBER:

140:303689

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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{ [(2-hydroxy-1-(hydroxymethyl)-1-

methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one

as CXCR2 receptor antagonist

INVENTOR(S):

Bonnert, Roger Victor

PATENT ASSIGNEE(S):

REFERENCE COUNT:

Astrazeneca AB, Swed.; Astrazeneca UK Limited

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PCT Int. Appl., 23 pp.

CODEN: PIXXD2

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CA	2498762									CA 2003-2498762									
								AU 2003-267571											
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							ES,												
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BR	2003	0148	44	•	Α		2005	0809		BR 2	003-	1484	4		2	0030	916		
CN	1681	826			Α		2005	1012		CN 2	003-	8223	35		2	0030	916		
JP	2006	5038	35		T2		2006	0202		JP 2	004-	5372	76		2	0030	916		
ZA	2005	0022	72		Α		2005	0919		ZA 2	005-	2272			2	0050	317		
NO	2005	0018	92		Α		2005	0617			005-								
US	2006	1002	21		A 1		2006	0511											
CRIT	Y APP	LN.	INFO	. :							002-								
	•									WO 2	003-	GB39	98	,	W 2	0030	916		
	OURCE						140:	3036	89										
	6345-																		
	: PAC																		
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(Uses)

(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

676345-22-5 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-CN idea in the control of the cont

F
$$CH_2-S$$
 N N N S Me $NH-C-CH_2-OH$ CH_2-OH

676345-23-6 CAPLUS RN

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 Me
 $NH-C-CH_2-OH$
 CH_2-OH

Na

IT 259101-71-8P 676345-25-8P 676345-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-%-2(3H)-one as CXCR2 receptor antagonist)

RN 259101-71-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 676345-25-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo [4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 676345-26-9 CAPLUS

CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compound I and its monosodium salt, useful for treating a The original State Congress chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed. REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:267303 CAPLUS

DOCUMENT NUMBER:

140:303685

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thia

zolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor

antagonist

INVENTOR(S):

Brough, Stephen John; McInally, Thomas

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.			KIND		DATE		1	APPL	ICAT		DATE						
WO 2004026835					A1 2004040			0401	WO 2003-GB4000							20030916			
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		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		

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                          A1
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                                                                     20030916
     EP 1542974
                          A1
                                 20050622
                                             EP 2003-797377
                                                                     20030916
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     BR 2003014843
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                                             BR 2003-14843
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     CN 1681787
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                                             CN 2003-822336
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     ZA 2005002267
                          Α
                                20050919
                                             ZA 2005-2267
                                                                    20050317
                                             US 2005-528270
     US 2005272750
                          A1
                                20051208
                                                                    20050317
     NO 2005001893
                                20050617
                                             NO 2005-1893
                                                                    20050419
PRIORITY APPLN. INFO.:
                                             GB 2002-21829
                                                                    20020920
                                             WO 2003-GB4000
                                                                 W
                                                                    20030916
OTHER SOURCE(S):
                         MARPAT 140:303685
IT
     676345-69-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-
        2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-
        one as CXCR2 receptor antagonist)
RN 676345-69-0 CAPLUS
                                                                           11. 上京国际公司公司
     Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-
     [[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

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IT 676345-70-3P 676345-71-4P
   RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
   (Reactant or reagent)
        (multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-
        one as CXCR2 receptor antagonist)
RN 676345-70-3 CAPLUS
CN 1,3-Butanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S,3S)- (9CI) (CA INDEX NAME)
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RN 676345-71-4 CAPLUS

CN 1,3-Butanediol, 2-[[2-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:814150 CAPLUS

DOCUMENT NUMBER: 137:325430

TITLE: Preparation of thiazolopyrimidines as modulators of

chemokine receptor activity

Bonnert, Roger

PATENT ASSIGNEE(S): SOURCE:

Astrazeneca AB, Swed. PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

1	PAT	ENT I	NO.			KIND DATE APPLICATION NO.							DATE							
	WO 2002083693				A1 20021024									20020412						
•		W:															CH,			
																	GE,			
																	LK,			
																	OM,			
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		DW.	•	•	•	•		•	•	•		Τ7.	IIG	7.M	7.W	ΔТ	BE,	CH.		
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A Company of the said																		ATG		
										EP 2002-724837							20020412			
EP 1385854																				
•		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
								RO,												
															20020412					
		2889									AT 2002-724837 US 2003-474610									
											US 2	003-	4/46		20031009					
		6949						2005 2006			US 2	005	2252	70		_	20050	012		
PRIOR						ΑI		2000	0323		2233 1322			20010						
PRIOR	ДΙΙ	APP	1111 · .	TMEO	• •						WO 2						20020			
																	20031			
OTHER SOURCE(S):							US 2003-474610 A1 200310 MARPAT 137:325430													
IT	121111111111111111111111111111111111111																			
	(Th																; TH USE			

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

333742-48-6 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-CN [[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 333743-03-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473708-91-7 CAPLUS

CN 1-Propanol, 2-[[2-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

14 CHARL 1

$$NR^{2}R^{3}$$
 $NR^{2}R^{3}$
 $NR^{2}R^{3}$

The title compds. [I; A = II, III; R1 = cycloalkyl, alkyl, alkenyl, etc.; AΒ R2, R3 = H, cycloalkyl, alkyl, etc.; X = CH, CCN; Y = N, CR18; R18 = H, alkyl, Ph], useful for treating a chemokine mediated disease such as psoriasis, rheumatoid arthritis, and COPD, were prepared E.g., a 5-step synthesis of (1R)-IV, starting from 2-amino-5,6-dihydro-5thioxothiazolo[4,5-d]pyrimidin-7(4H)-one and 2,3-difluorobenzyl bromide, was given. The compds. I were found to have IC50 values of < 10 μM against CXCR2 receptor binding. They were also tested against chemokine $GRO\alpha$ (no data given).

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN L4

ACCESSION NUMBER:

2002:754394 CAPLUS

DOCUMENT NUMBER:

137:263057 TITLE:

Preparation of thiazolo[4,5-d]pyrimidinyldiamines as

CX3CR1 receptor antagonists

INVENTOR(S):

Hanson, Sverker; Nordvall, Gunnar

PATENT ASSIGNEE(S):

SOURCE:

1100

Astrazeneca AB, Swed.

PCT Int. Appl., 40 pp.

Patent

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT 1	vo.			KINI)	DATE		i	APPL:	ICAT:	DATE					
				A1 C1		2002: 2002:		Ţ	WO 20	002-	20020326						
	W:				AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	zw							
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		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
AU 2002245005			A1		2002	1008		AU 2	002-	20020326							
EP 1377590					A1 20040107					EP 2	002-	20020326					

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                20040812
                                            JP 2002-576248
                                                                    20020326
    JP 2004524351
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                                            US 2003-472992
                                                                    20030925
    US 2004106628
                          A1
    US 7067657
                          B2
                                20060627
PRIORITY APPLN. INFO.:
                                            SE 2001-1082
                                                                 A 20010327
                                            WO 2002-SE599
                                                                    20020326
OTHER SOURCE(S):
                         MARPAT 137:263057
    463953-89-1P 463953-90-4P 463953-91-5P
     463953-92-6P 463953-93-7P 463953-94-8P
     463953-95-9P 463953-96-0P 463953-97-1P
     463953-98-2P 463953-99-3P 463954-00-9P
     463954-01-0P 463954-02-1P 463954-03-2P
     463954-04-3P 463954-05-4P 463954-06-5P
     463954-07-6P 463954-08-7P 463954-09-8P
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    463954-16-7P 463954-17-8P 463954-18-9P
     463954-19-0P 463954-20-3P 463954-21-4P
     463954-22-5P 463954-23-6P 463954-24-7P
     463954-25-8P 463954-26-9P 463954-27-0P
     463954-28-1P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor
        antagonists)
RN
     463953-89-1 CAPLUS
     1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-
CN
     yl]amino]-3-methyl- (9CI) (CA INDEX NAME)
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RN 463953-90-4 CAPLUS

CN 1-Hexanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 463953-91-5 CAPLUS

CN Acetamide, 2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 463953-92-6 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-(4-piperidinylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-93-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-94-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463953-95-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-96-0 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-97-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromophenyl)methyl]thio]thiazolo[4,5-

d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-98-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-iodophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-99-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,4-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-00-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,5-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-01-0 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,6-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

estiga dita tanah

Absolute stereochemistry.

RN 463954-02-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3,4-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

 ${\bf Absolute \ stereochemistry.}$

RN 463954-03-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3,5-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-04-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-05-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-chloro-6-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN -463954-06-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-07-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromo-5-fluorophenyl)methyl]thio]thiazolo[4

,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-08-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-dichlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-09-8 CAPLUS

CN 1-Pentano1, 2-[[2-amino-5-[[(6-chloro-1,3-benzodioxol-5-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-10-1 · CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino 4-methyl-, (2R)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 463954-11-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-12-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-methoxyphenyl)methyl]thio]thiazolo[4,5-

d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-13-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-fluoro-3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-14-5 CAPLUS

CN 1=Pentanol, 2-[[2-amino-5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thi o]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-15-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromo-5-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. The Colembia and C

RN 463954-16-7 CAPLUS

CN Benzoic acid, 3-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-17-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[4-(trifluoromethyl)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-18-9 CAPLUS 1990 A C

CN 1-Pentanol, 2-[[2-amino-5-[[[2-(trifluoromethyl)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-19-0 CAPLUS

CN Benzonitrile, 4-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]- (9CI) (CA INDEX NAME)

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RN 463954-20-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-21-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-22-5 CAPLUS

CN Benzonitrile, 2-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-

methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-23-6- & CAPLUS - - **

CN 1-Pentanol, 2-[[2-amino-5-[[(2-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-24-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

RN 463954-25-8 CAPLUS

CN Benzonitrile, 3-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]- (9CI) (CA INDEX NAME)

. <u>8</u>24

Absolute stereochemistry.

2.28 July 2.48 Co. 10.22

RN 463954-26-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methyl-2-nitrophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

463954-27-0 CAPLUS

RN

$$CH_2-OH$$
 NH
 S
 NH_2
 NH_2
 NH_2

RN 463954-28-1 CAPLUS

CN 1-Hexanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

IT 259101-09-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor
 antagonists)

, · -c.

RN 259101-09-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 463954-29-2P 463954-31-6P 463954-32-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor antagonists)

RN 463954-29-2 CAPLUS

CN 1-Pentanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-

Absolute stereochemistry.

RN 463954-31-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

$$R^2$$
 R^3 OH S N N N $X-R^1$ I

AB The title compds. [I; R1 = H, NR4R5, CONR6R7, 4-7 membered saturated monoazacyclic ring optionally substituted by alkyl or alkyl-OR8; R2 = alkyl, optionally substituted by OR9, NR10R11; R3 = H, alkyl, optionally substituted by OR12, NR13R14; or CR2R3 = cycloalkyl, optionally substituted by OR9 or NR10R11; Ar = (un)substituted Ph; X = a bond, alkyl; R4-R14 = H, alkyl], useful for the treatment or prophylaxis of diseases or conditions in which antagonism of the CX3CR1 receptor is beneficial, particularly useful in the treatment or prophylaxis of neurodegenerative disorders, demyelinating disease and pain, were prepared Thus, reacting 5-phenylmethylthio-7-chlorothiazolo[4,5-d]pyrimidin-2-ylamine with DL-2-amino-3-methyl-1-butanol in THF afforded 24% (±)-I [R1 = H; R2 = iso-Pr; R3 = H; Ar = Ph; X = a bond]. The exemplified compds. I showed Ki of < 10 μM in the SPA-ligand binding assay.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

2

ACCESSION NUMBER:

2001:597993 CAPLUS

DOCUMENT NUMBER:

135:166841

TITLE:

Preparation of thiazolopyrimidines as modulators of

chemokine receptor activity

INVENTOR(S):

Bonnert, Roger; Cage, Peter; Hunt, Fraser; Jewell,

Robert; Walters, Iain

PATENT ASSIGNEE(S): SOURCE:

Astrazeneca AB, Swed. PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	KIND DATE				•	APPL	ICAT	DATE								
WO	2001	0589	07		A1		20010816			WO 2	001-	20010207					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
		YU,	ZA,	ZW													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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EP	1257	556			A 1		2002	1120		EP 2	001-		20010207				
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JP	2003	5221	92		T2		2003	0722		JP 2	001-		20010207				
AT	2693	40			E		2004	0715		AT 2	001-		20010207				
US	2003		A1		2003	0213	•	US 2	002-								

US 6958343 B2 20051025

PRIORITY APPLN. INFO .:

GB 2000-3025 WO 2001-SE247 A 20000211 W 20010207

OTHER SOURCE(S): MARPAT 135:166841 IT 333743-41-2P 333743-44-5P 333743-47-8P

333744-06-2P 354565-81-4P 354565-82-5P

354565-83-6P 354565-84-7P 354565-85-8P

354565-86-9P 354565-87-0P 354565-88-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 333743-41-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-44-5 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Me
$$CH_2-S$$
 N N NH_2 N

RN 333743-47-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

Me
$$CH_2 - S$$
 N NH_2 $NH_$

Chips Davellase

RN 333744-06-2 CAPLUS

1-Propanol, 2-[[2-amino-5-[[(5-chloro-1,2,3-thiadiazol-4-CN yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

354565-81-4 CAPLUS RN

1-Propanol, 2-[[2-amino-5-[(1H-benzimidazol-2-ylmethyl)thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & N & & & \\ & & & \\ & N & & \\ & & & \\ & & NH & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN

354565-82-5 CAPLUS 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-CN 7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$CH_2-S$$
 N
 N
 NH_2
 NH_2

354565-83-6 CAPLUS RN

1-Propanol, 2-[[2-amino-5-[[1-(2-thienyl)ethyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 354565-84-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3,5-dimethyl-4-isoxazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-85-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(5-methyl-2-furanyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$NH_2$$
 NH_2
 NH_2
 NH_2
 NH_2
 NH_2

RN 354565-86-9 CAPLUS

CN Acetamide, N-[4-[[[2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]-2-thiazolyl]-(9CI) (CA INDEX NAME)

RN 354565-87-0 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(5-isoxazolylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-88-1 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 259101-61-6P 333742-99-7P 333743-71-8P

354565-89-2P 354565-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ | \\ \text{HO-CH}_2\text{-C-NH} \\ | \\ | \\ \text{Me} & \\ \\ \text{Ph-CH}_2\text{-S} & \\ N & \\ \end{array}$$

RN 333742-99-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

 $v = v + \frac{1}{2} + \frac{1}{2}$

Absolute stereochemistry.

RN 333743-71-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-89-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 354565-90-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$R \xrightarrow{N} N^{R^2R^3}$$

$$R \xrightarrow{N} S^{R^1} I$$

The title compds. [I; R = H, NR4R5 (R4, R5 = H, 4-piperidinyl, cycloalkyl, etc.; NR4R5 = (un)substituted 4-7 membered saturated heterocyclyl); R1 = alkyl optionally containing one or more atoms selected from O, S, NR6 (R6 = H, alkyl, Ph) which terminates in (un)substituted heteroaryl group; R3, R3 = H, alkyl, cycloalkyl, etc.; NR2R3 = (un)substituted 3-8 membered ring optionally containing one or more atoms selected from O, S, NR9 (NR9 = H, alkyl, Ph)], useful in treating an inflammatory diseases such as psoriasis and COPD, were prepared E.g., a multi-step synthesis of I [R = NH2; R1 = 1H-benzimidazol-2-ylmethyl; R2 = CMe2CH2OH; R3 = H] was given. The compds. I were found to have IC50 of < 10 μM against CXCR2 receptor binding.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

7

ACCESSION NUMBER: 2001:265425 CAPLUS

DOCUMENT NUMBER: 134:280857

Preparation of novel thiazolo[4,5-d]pyrimidines as TITLE:

modulators of chemokine receptors

Willis, Paul Andrew; Bonnert, Roger Victor; Hunt, INVENTOR(S):

Simon Fraser; Walters, Iain Alistair Stewart

PATENT ASSIGNEE(S):

Astrazeneca UK Limited, UK PCT Int. Appl., 85 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT I	NO.			KIND DATE					APP	LICAT		DATE					
	WO	2001	42		A1					2000-	GB36		20000926						
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OTHER SOURCE(S): MARPAT 134:280857

IT 333742-46-4P 333742-48-6P 333742-63-5P

333742-86-2P 333742-87-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

333742-46-4 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-CN methylethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 333742-48-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-63-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-86-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 N
 S

MeO- $CH_2-CH-NH$
 $HO-CH_2$

RN 333742-87-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$HO-CH_2$$
 $HO-CH_2-CH-NH$
 S
 O
 $Ph-CH_2-S$
 N

IT 333742-56-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-56-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-55-5 CMF C15 H15 F2 N5 O S2

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CM 2 CRN 76-05-1

C2 H F3 O2

CMF

333742-44-2P 333742-45-3P 333742-47-5P IT 333742-49-7P 333742-50-0P 333742-51-1P 333742-52-2P 333742-53-3P 333742-54-4P 333742-55-5P 333742-57-7P 333742-58-8P 333742-59-9P 333742-60-2P 333742-61-3P 333742-62-4P 333742-64-6P 333742-65-7P 333742-66-8P 333742-67-9P 333742-68-0P 333742-69-1P 333742-70-4P 333742-71-5P 333742-72-6P 333742-73-7P 333742-74-8P 333742-75-9P 333742-76-0P 333742-77-1P 333742-78-2P 333742-79-3P 333742-80-6P 333742-81-7P 333742-82-8P 333742-83-9P 333742-84-0P 333742-85-1P 333742-88-4P 333742-89-5P 333742-90-8P 333742-91-9P 333742-92-0P 333742-93-1P 333742-94-2P 333742-95-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors) RN 333742-44-2 CAPLUS Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-CN 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 333742-45-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 333742-47-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)

RN 333742-49-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & H & O \\ \hline \\ CH_2 - S & N & N & S \\ \hline \end{array}$$

 ${\tt HO-CH_2-CH_2-O-CH_2-CH_2-NH}$

RN 333742-50-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)amino]- (9CI) (CA INDEX NAME)

RN 333742-51-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-aminoethyl)amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & H & O \\ \hline \\ H_2N-CH_2-CH_2-NH & S \end{array}$$

RN 333742-52-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

F

$$CH_2-S$$
 N
 N
 S
 O
 $HO-CH_2-CH_2-NH$

RN 333742-53-3 CAPLUS

CN Methanesulfonamide, N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 333742-54-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 N
 S

HO- $CH_2-CH_2-O-CH_2-CH-NH$
 Me

RN 333742-55-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-57-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-58-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-57-7 CMF C17 H19 F2 N5 O2 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 333742-59-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-(dimethylamino)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-60-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

$$H_{2N}$$

C1

 H_{2N}
 H_{2N}

RN 333742-61-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-60-2

CMF C17 H20 C1 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 333742-62-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-4-methoxyphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

RN 333742-64-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(3R,4R)-4-hydroxy-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-65-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(3R)-3-pyrrolidinylamino]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 333742-66-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-67-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)

RN 333742-68-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)

Me
$$CH_2-S$$
 Me N Me $NH-C-CH_2-OH$ Me

RN 333742-69-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

RN 333742-70-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(2-furanylmethyl)thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-71-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(3-chloro-2-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-72-6 CAPLUS

CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

RN 333742-73-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-thienylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[[3-methyl-4-(methylsulfonyl)phenyl]methyl]thio]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-75-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[3-chloro-4-(trifluoromethoxy)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-

Absolute stereochemistry.

RN 333742-76-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-77-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(dimethylamino)ethyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-79-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(2-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-80-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-phenoxyethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-81-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX

Absolute stereochemistry.

RN 333742-82-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluoro-3-methylphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-83-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chlorophenyl)methyl]thio]-7- [[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-84-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-85-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[4-(difluoromethoxy)phenyl]methyl] thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-88-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-bromophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-89-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 333742-90-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 333742-91-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA
INDEX NAME)

F
$$CH_2-S$$
 N N S $MeO-CH_2-CH-NH$ $HO-CH_2$

Na

RN 333742-92-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

RN 333742-93-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1 methylethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

Na

RN 333742-94-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX

Absolute stereochemistry.

RN 333742-95-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(3R)-3-pyrrolidinylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

259100-39-5P 259101-56-9P 259101-61-6P IT 259101-68-3P 259101-74-1P 259101-81-0P 259103-44-1P 259103-45-2P 333742-96-4P 333742-97-5P 333742-98-6P 333742-99-7P 333743-00-3P 333743-01-4P 333743-02-5P 333743-03-6P 333743-04-7P 333743-05-8P 333743-06-9P 333743-07-0P 333743-08-1P 333743-09-2P 333743-10-5P 333743-11-6P 333743-12-7P 333743-13-8P 333743-14-9P 333743-15-0P 333743-16-1P 333743-17-2P 333743-18-3P 333743-19-4P 333743-20-7P 333743-21-8P 333743-22-9P 333743-23-0P 333743-24-1P 333743-25-2P 333743-30-9P 333743-32-1P 333743-33-2P 333743-34-3P 333743-35-4P 333743-36-5P 333743-37-6P 333743-41-2P 333743-42-3P 333743-43-4P 333743-44-5P 333743-45-6P 333743-46-7P 333743-47-8P 333743-48-9P 333743-49-0P 333743-50-3P 333743-55-8P 333743-56-9P 333743-57-0P 333743-58-1P 333743-59-2P 333743-60-5P 333743-71-8P 333743-72-9P 333743-73-0P 333743-74-1P 333743-75-2P 333743-76-3P 333743-77-4P 333743-78-5P 333743-79-6P 333743-80-9P 333743-81-0P

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333743-82-1P 333743-83-2P 333743-84-3P
     333743-85-4P 333743-86-5P 333743-87-6P
     333743-88-7P 333743-89-8P 333743-90-1P
     333743-91-2P 333743-92-3P 333743-93-4P
     333743-94-5P 333743-95-6P 333743-97-8P
     333743-98-9P 333743-99-0P 333744-00-6P
    333744-01-7P 333744-02-8P 333744-03-9P
     333744-04-0P 333744-05-1P 333744-06-2P
     333744-07-3P 333744-08-4P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine
        receptors)
RN
     259100-39-5 CAPLUS
CN
     1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-
     yl]amino]-, (2R)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 259101-56-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ | \\ HO-CH_2-C-NH \\ | \\ Me \\ \\ Ph-CH_2-S \\ \end{array}$$

RN 259101-68-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-74-1 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ CH_2 - S \\ \hline \\ N \\ \hline \\ N \\ S \\ \end{array}$$

 $HO-CH_2-CH_2-O-CH_2-CH_2-NH$

RN 259101-81-0 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-44-1 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259103-45-2 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 333742-96-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & | \\ & | \\ & \text{HO-CH}_2-\text{C-NH} \\ & | \\ & \text{Me} \\ & | \\ & \text{N} \\ & | \\ & \text{Ph-CH}_2-\text{S} \\ & | \\ & \text{N} \\ & | \\ & \text{N} \\ \end{array}$$

RN 333742-97-5 CAPLUS

CN 1-Butanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333742-98-6 CAPLUS

CN 1-Butanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-99-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-00-3 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-01-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-02-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

 $N_{\rm q}$

RN 333743-03-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-04-7 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-05-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-06-9 CAPLUS

CN Ethanol, 2-[2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$F$$
 CH_2-S
 N
 S
 Br

HO-CH2-CH2-O-CH2-CH2-NH

RN 333743-07-0 CAPLUS

CN Ethanol, 2-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ CH_2 - S \\ \hline \\ N \\ \hline \\ S \\ \end{array} \begin{array}{c} N \\ N \\ S \\ \end{array} \begin{array}{c} OMe \\ \\ \end{array}$$

но-сн₂-сн₂-о-сн₂-сн₂-ин

RN 333743-08-1 CAPLUS

CN 1,3-Propanediol, 2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 333743-09-2 CAPLUS

CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N N OMe $HO-CH_2-CH-NH$ $HO-CH_2$

RN 333743-10-5 CAPLUS

CN Carbamic acid, [2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-11-6 CAPLUS

CN Carbamic acid, [2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4

,5-d]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-12-7 CAPLUS

CN Carbamic acid, [2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-13-8 CAPLUS

CN Ethanol, 2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 S
 $HO-CH_2-CH_2-NH$

RN 333743-14-9 CAPLUS

CN Ethanol, 2-[[5-[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N N OMe $HO-CH_2-CH_2-NH$

RN 333743-15-0 CAPLUS

CN Methanesulfonamide, N-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thi azolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 333743-16-1 CAPLUS

CN Methanesulfonamide, N-[2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thi azolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 333743-17-2 CAPLUS

CN Methanesulfonamide, N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 333743-18-3 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propoxy]- (9CI) (CA INDEX NAME)

RN 333743-19-4 CAPLUS

CN Ethanol, 2-[2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propoxy]- (9CI) (CA INDEX NAME)

RN 333743-20-7 CAPLUS

CN Ethanol, 2-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propoxy]- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N N OMe $HO-CH_2-CH_2-O-CH_2-CH-NH$ Me

RN 333743-21-8 CAPLUS

CN Propanamide, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-22-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[(1R)-2-amino-1-methylethyl]-5-[((2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-23-0 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 333743-24-1 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-25-2 CAPLUS

CN Carbamic acid, [(2R)-2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-30-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-32-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-hydroxy-

, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-33-2 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-hydroxy-, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-34-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-hydroxy-, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

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RN 333743-35-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-36-5 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-37-6 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-41-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-42-3 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-43-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-44-5 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Me
$$CH_2-S$$
 N NH_2 NH_2

RN 333743-45-6 CAPLUS

CN 1,3-Propanediol, 2-[[2-bromo-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 333743-46-7 CAPLUS

CN 1,3-Propanediol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 333743-47-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

Me
$$CH_2-S$$
 N N NH_2 N

RN 333743-48-9 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

Me
$$CH_2-S$$
 N N S Me $NH-C-CH_2-OH$ Me

RN 333743-49-0 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 333743-50-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 333743-55-8 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-56-9 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-57-0 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-58-1 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-bromo-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-59-2 CAPLUS

CN Carbamic acid, [(2R)-2-[[5-[[(3-chloro-2-fluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-60-5 CAPLUS

CN Propanamide, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

RN 333743-71-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} Me \\ \hline \\ NH \\ \hline \\ S \\ \hline \\ NH \\ \\ N \\ \\ \end{array}$$

RN 333743-72-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-73-0 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-74-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-fluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-75-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-76-3 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-77-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-78-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-79-6 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-80-9 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-81-0 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-82-1 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-83-2 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-84-3 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-fluoro-3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-85-4 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-fluoro-3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-86-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-fluoro-3-methylphenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-87-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-88-7 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(3-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-89-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(3-chlorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-90-1 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-91-2 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(3-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333743-92-3 CAPLUS

CN 1-Propanol, 2-[[5-[[(3-bromophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-93-4 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[[4-(difluoromethoxy)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-94-5 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[[4-(difluoromethoxy)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN333743-95-6 CAPLUS

CN 1-Propanol, 2-[[5-[[[4-(difluoromethoxy)phenyl]methyl]thio]-2methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

333743-97-8 CAPLUS 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

333743-98-9 CAPLUS RN

1-Propanol, 2-[[2-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 N
 S
 $C1$
 $MeO-CH_2-CH-NH$
 $HO-CH_2$

RN 333743-99-0 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 333744-00-6 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 333744-01-7 CAPLUS

CN 1,3-Propanediol, 2-[[2-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 333744-02-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$HO-CH_2$$
 $HO-CH_2-CH-NH$
 N
 S
 OMe
 $Ph-CH_2-S$
 N

RN 333744-03-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-04-0 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-05-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-bromophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 333744-06-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-07-3 CAPLUS

CN 1-Propanol, 2-[[2-chloro-5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-08-4 CAPLUS

CN 1-Propanol, 2-[[5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, AB alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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4

ACCESSION NUMBER: 2000:133684 CAPLUS

DOCUMENT NUMBER: 132:166252

Preparation of novel thiazolopyrimidines as modulators TITLE:

of chemokine receptor activity

INVENTOR(S): Austin, Rupert; Baxter, Andrew; Bonnert, Roger; Hunt,

Fraser; Kinchin, Elizabeth; Willis, Paul

PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra AB

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE		APPLICATION NO.						DATE -		
						_												
	WO	O 2000009511				A1 20000		0224	224 WO 1999-SE1333						19990803			
		W:	ΑE,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
			DE,	DK,	ΕĖ,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
			JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
			MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,
			TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,
			MD,	RU,	ТJ,	TM												
		RW:	GH.	GM.	KE	T.S	MW.	SD.	SL	S.7.	IIG.	7.W	AT.	BE.	CH.	CY.	DE.	DK.

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2338600 AΑ 20000224 CA 1999-2338600 19990803 19990803 AU 9956625 Α1 20000306 AU 1999-56625 B2 AU 768004 20031127 EP 1999-943554 1,9990803 EP 1104425 A1 20010606 EP 1104425 В1 20030129 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002522544 T2 20020723 JP 2000-564962 19990803 Ε 20030215 AT 1999-943554 19990803 AT 231872 Т3 20030716 ES 1999-943554 9990803 ES 2190246 В1 20041019 US 2001-403392 0011021 US 6806273 19980813 PRIORITY APPLN. INFO .: SE 1998-2729 19990803 WO 1999-SE1333

OTHER SOURCE(S): MARPAT 132:166252

IT 259101-56-9P 259101-61-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel thiazolopyrimidines as modulators of chemokine receptor activity)

RN 259101-56-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

IT 259100-39-5P 259100-40-8P 259100-44-2P 259100-45-3P 259100-66-8P 259100-67-9P 259100-68-0P 259100-69-1P 259100-70-4P 259100-71-5P 259100-72-6P 259100-73-7P 259100-74-8P 259100-75-9P 259100-76-0P 259100-77-1P 259100-78-2P 259100-79-3P 259100-80-6P 259100-81-7P 259100-82-8P

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259101-05-8P 259101-06-9P 259101-07-0P
259101-08-1P 259101-09-2P 259101-10-5P
259101-11-6P 259101-12-7P 259101-13-8P
259101-14-9P 259101-15-0P 259101-17-2P
259101-18-3P 259101-19-4P 259101-20-7P
259101-21-8P 259101-22-9P 259101-23-0P
259101-24-1P 259101-25-2P 259101-26-3P
259101-27-4P 259101-28-5P 259101-29-6P
259101-30-9P 259101-31-0P 259101-32-1P
259101-33-2P 259101-34-3P 259101-35-4P
259101-36-5P 259101-37-6P 259101-38-7P
259101-39-8P 259101-40-1P 259101-41-2P
259101-42-3P 259101-43-4P 259101-44-5P
259101-45-6P 259101-46-7P 259101-47-8P
259101-48-9P 259101-49-0P 259101-50-3P
259101-51-4P 259101-52-5P 259101-53-6P
259101-54-7P 259101-55-8P 259101-57-0P
259101-58-1P 259101-59-2P 259101-60-5P
259101-62-7P 259101-63-8P 259101-65-0P
259101-66-1P 259101-67-2P 259101-68-3P
259101-69-4P 259101-70-7P 259101-71-8P
259101-72-9P 259101-73-0P 259101-74-1P
259101-75-2P 259101-76-3P 259101-77-4P
259101-78-5P 259101-80-9P 259101-81-0P
259101-82-1P 259101-83-2P 259101-84-3P
259101-85-4P 259101-86-5P 259101-87-6P
259101-88-7P 259101-89-8P 259101-90-1P
259101-91-2P 259101-92-3P 259101-93-4P
259101-94-5P 259101-95-6P 259101-96-7P
259101-97-8P 259101-98-9P 259101-99-0P
259102-00-6P 259102-01-7P 259102-10-8P
259102-11-9P 259102-12-0P 259102-13-1P
259102-14-2P 259102-15-3P 259102-16-4P
259102-17-5P 259102-18-6P 259102-19-7P
259102-20-0P 259102-21-1P 259102-22-2P
259102-23-3P 259102-24-4P 259102-25-5P
259102-26-6P 259102-27-7P 259102-28-8P
259102-29-9P 259102-30-2P 259102-31-3P
259102-32-4P 259102-33-5P 259102-34-6P
259102-35-7P 259102-36-8P 259102-37-9P
259102-38-0P 259102-39-1P 259102-40-4P
259102-41-5P 259102-42-6P 259102-43-7P
259102-44-8P 259102-45-9P 259102-46-0P
259102-47-1P 259102-48-2P 259102-49-3P
259102-50-6P 259102-51-7P 259102-52-8P
259102-53-9P 259102-54-0P 259102-57-3P
259102-58-4P 259102-59-5P 259102-61-9P
259102-62-0P 259102-63-1P 259102-64-2P
259102-66-4P 259102-68-6P 259102-69-7P
259102-70-0P 259102-71-1P 259102-73-3P
259102-74-4P 259102-75-5P 259102-76-6P
259102-77-7P 259102-78-8P 259102-79-9P
259102-80-2P 259102-81-3P 259102-82-4P
259102-83-5P 259102-84-6P 259102-86-8P
259102-87-9P 259102-88-0P 259102-89-1P
259102-90-4P 259102-91-5P 259102-93-7P
259102-94-8P 259102-95-9P 259102-96-0P
259102-98-2P 259102-99-3P 259103-00-9P
259103-01-0P 259103-02-1P 259103-03-2P
259103-04-3P 259103-05-4P 259103-06-5P
259103-08-7P 259103-09-8P 259103-10-1P
259103-11-2P 259103-13-4P 259103-14-5P
259103-15-6P 259103-16-7P 259103-17-8P
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Absolute stereochemistry.

RN 259100-40-8 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259100-44-2 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \text{NH2} \\ \\ \text{Me-(CH2)}_{4-S} & \text{NH2} \\ \end{array}$$

RN 259100-45-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(9CI) (CA INDEX NAME)

RN 259100-66-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[3-(dimethylamino)propyl]-5-(pentylthio)- (9CI) (CA INDEX NAME)

$$Me_2N - (CH_2)_3 - NH$$
 NH_2
 NH_2
 NH_2

RN 259100-67-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(diethylamino)ethyl]-5-(pentylthio)-(9CI) (CA INDEX NAME)

RN 259100-68-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(dimethylamino)ethyl]-5-(pentylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \\ \text{N} \\ \text{Me}-\text{(CH}_2)_4-\text{S} \\ \end{array}$$

RN 259100-69-1 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO- (CH2)}_3 - \text{NH} \\ \hline \\ \text{N} \\ \text{Me- (CH2)}_4 - \text{S} \\ \end{array}$$

RN 259100-70-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclohexyl-5-(pentylthio)- (9CI) (CA INDEX NAME)

Me- (CH₂)
$$_4$$
-S N NH₂

RN 259100-71-5 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Me- (CH₂)
$$_4$$
-S

NH2

RN 259100-72-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methoxyethyl)-5-(pentylthio)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2\text{-CH}_2\text{-NH} \\ \hline \\ \text{Ne-(CH}_2)_4\text{-S} \\ \end{array}$$

RN 259100-73-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-(pentylthio)-N7-propyl- (9CI) (CA INDEX NAME)

RN 259100-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopentyl-5-(pentylthio)-(9CI) (CA INDEX NAME)

Me-
$$(CH_2)_4$$
-S
NH2

RN 259100-75-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopropyl-5-(pentylthio)-(9CI) (CA INDEX NAME)

Me-
$$(CH_2)_4$$
-S
N
N
N
N
N
N
N
N
N
N

RN 259100-76-0 CAPLUS

Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methylpropyl)-5-(pentýlthiö)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me-} (\text{CH}_2)_4 - \text{S} & \text{N} & \text{N} + \text{N} +$$

RN 259100-77-1 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(9CI) (CA INDEX NAME)

Me-CH-CH₂-NH
$$Me-CH-CH2-NH$$

$$Me-(CH2)4-S$$

$$NH2$$

RN 259100-78-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-5-(pentylthio)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 259100-79-3 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

HO-CH₂-CH₂-O-CH₂-CH₂-NH
$$N = (CH2)4-S$$
NH₂

259100-80-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methylbutyl)-5-(pentylthio)-(9CI) (CA INDEX NAME)

Me
Et-CH-CH₂-NH
$$N \longrightarrow N$$
Me-(CH₂) 4 -S
$$N \longrightarrow N$$

RN 259100-81-7 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259100-82-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[(2-aminophenyl)methyl]-5-(pentylthio)- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH_2
 NH
 NH_2
 NH_2
 NH_2
 NH_2

RN 259101-05-8 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 259101-06-9 CAPLUS

CN Benzenemethanol, α -[[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 259101-07-0 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-08-1 CAPLUS

CN Ethanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$Ph-CH_2-S$$

RN 259101-09-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-10-5 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-11-6 CAPLUS

CN Benzenepropanol, β-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-chloro-(9CI) (CA INDEX NAME)

C1

$$CH_2$$
 $CH-CH_2-OH$
 NH
 NH
 S
 NH_2
 $Ph-CH_2-S$
 N

RN 259101-12-7 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-13-8 CAPLUS

CN Ethanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 259101-14-9 CAPLUS

CN 3-Pyrrolidinol, 1-[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-15-0 CAPLUS

CN 3-Piperidinol, 1-[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-17-2 CAPLUS

CN 4-Piperidinol, 1-[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-18-3 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 259101-19-4 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-20-7 CAPLUS

CN Benzenemethanol, α -[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{PhO} & & \text{CH}_2\text{-}\text{S} & & \text{N} & \text{N} & \text{NH}_2 \\ & & \text{Ph} & & & \text{S} & & \\ & & & \text{HO-CH-CH}_2\text{-}\text{NH} & & & \\ \end{array}$$

RN 259101-21-8 CAPLUS

CN 1-Butanol, 4-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-22-9 CAPLUS

CN 1-Hexanol, 6-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-23-0 CAPLUS

CN Cyclohexanol, 4-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

Pho
$$CH_2-S$$
 N N N N N N N N N

RN 259101-24-1 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-25-2 CAPLUS

CN 1-Pfopanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-26-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

259101-27-4 CAPLUS RN

1-Pentanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

259101-28-5 CAPLUS 2-Propanol, 1-amino-3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[CN 4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

259101-29-6 CAPLUS RN

2-Propanol, 1-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

PhO
$$CH_2-S$$
 N N NH_2 OH N NH_2 NH_2

259101-30-9 CAPLUS RN

1,3-Propanediol, 2-[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4, CN 5-d]pyrimidin-7-yl]amino]methyl]-2-ethyl- (9CI) (CA INDEX NAME)

RN 259101-31-0 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-chloro- (9CI) (CA INDEX NAME)

CH2

$$CH_2$$
 $CH-CH_2-OH$
 NH
 S
 NH_2

RN 259101-32-1 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-33-2 CAPLUS

CN Ethanol, 2-[[2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

HO-CH2-CH2-NH-CH2-CH2-NH

RN 259101-34-3 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-35-4 CAPLUS

CN Benzenepropanol, γ-[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]methyl]-3,4-dichloro- (9CI) (CA INDEX NAME)

C1

CH-
$$CH_2$$
- CH_2 - OH_2

CH2

NH

 CH_2

NH

 CH_2
 OH_2
 OH_2

RN 259101-36-5 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-37-6 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 259101-38-7 CAPLUS

CN 1-Pentanol, 5-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-39-8 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-40-1 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]butylamino]- (9CI) (CA INDEX NAME)

RN 259101-41-2 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 259101-42-3 CAPLUS

CN Ethanol, 2,2'-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]imino]bis- (9CI) (CA INDEX NAME)

RN 259101-43-4 CAPLUS

CN Phenol, 2-[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl](2-hydroxyethyl)amino]methyl]- (9CI) (CA INDEX NAME)

RN 259101-44-5 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl](2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

RN 259101-45-6 CAPLUS

CN 3-Pyrrolidinol, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-46-7 CAPLUS

CN L-Proline, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]-4-hydroxy-, phenylmethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-47-8 CAPLUS

CN 3-Piperidinemethanol, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo [4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-48-9 CAPLUS

CN 3-Piperidinol, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-49-0 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-50-3 CAPLUS

CN 4-Piperidinol, 1-[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-51-4 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 259101-52-5 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-53-6 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-54-7 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-

d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-55-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

PhO
$$CH_2-S$$
 N N N NH_2 Me $NH-C-CH_2-OH$ Me

RN 259101-57-0 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-58-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-(2-fluoroethyl)- (9CI) (CA INDEX NAME)

RN 259101-59-2 CAPLUS

CN Cyclopentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-60-5 CAPLUS

CN Cyclopentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (1S,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-62-7 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ \hline HO-CH_2-C-NH \\ \hline Me & \\ \hline N & \\ \hline NHMe \\ \hline Ph-CH_2-S & \\ \end{array}$$

RN 259101-63-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(phenylmethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-65-0 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-66-1 CAPLUS

CN Cyclohexanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (1S,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-67-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-68-3 CAPLUS

CN Ethanol, 2-[-[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-69-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-70-7 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-71-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-72-9 CAPLUS

CN Cyclohexanol, 1-[[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 259101-73-0 CAPLUS

CN Ethanol, 2-[[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

HO-CH2-CH2-NH-CH2-CH2-NH

RN 259101-74-1 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 259101-75-2 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]ethyl]-, <math>(\alpha S)-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-76-3 CAPLUS

CN 4-Piperidinol, 1-[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259101-77-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-ethyl- (9CI) (CA INDEX NAME)

RN 259101-78-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidine-2,7-diamin

Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-2-propenyl- (9CI) (CA INDEX NAME)

RN 259101-80-9 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-1-phenyl-, (1S,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-81-0 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259101-82-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-(2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 259101-83-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopropyl-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

RN 259101-84-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 259101-85-4 CAPLUS

CN L-Serine, N-[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-86-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-methylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-87-6 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-(ethylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-88-7 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(1H-indol-3-yl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} \\ \text{F} \\ \text{CH}_2\text{-S-N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{H} \\ \end{array}$$

RN 259101-89-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-naphthalenylmethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ F & & & \\ & & & \\ & & & \\$$

RN 259101-90-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(2,2-diphenylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-91-2 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(2,2,2-trifluoroethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

F

$$CH_2-S$$
 N
 N
 $NH-CH_2-CF_3$
 Me
 $NH-C-CH_2-OH$
 Me

RN 259101-92-3 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[(3,4,5-trimethoxyphenyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2-\text{C-NH} \\ & \text{Me} \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2 \\ & \text{OMe} \\ & \text{OMe} \\ \end{array}$$

RN 259101-93-4 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-94-5 CAPLUS

1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259101-95-6 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(4-methylcyclohexyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

RN 259101-96-7 CAPLUS

CN Acetamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

F

$$CH_2-S$$
 N
 N
 N
 $NH-CH_2-C-NH_2$
 Me
 $NH-C-CH_2-OH$
 Me

RN 259101-97-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-aminophenyl)ethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio|thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 $NH-CH_2-CH_2$ $NH-CH_2-CH_2$ Me $NH-C-CH_2-OH$ Me

RN 259101-98-9 CAPLUS

CN 1-Propanol, 2-[[5-[(2,3-difluorophenyl)methyl]thio]-2-[(2-fluoroethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N
 N
 N
 $NH-CH_2-CH_2F$
 Me
 $NH-C-CH_2-OH$
 Me

RN 259101-99-0 CAPLUS

CN 1-Propanol, 2-[[2-(cyclopropylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

RN 259102-00-6 CAPLUS

CN 1-Pentanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-01-7 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(2-hydroxyethoxy)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N $NH-CH_2-CH_2-O-CH_2-OH$ $NH-CH_2-CH_2-OH$ $NH-C-CH_2-OH$ $NH-C-CH_2-OH$ $NH-C-CH_2-OH$

RN 259102-10-8 CAPLUS

CN 1-Propanol, 2-[[2-(cyclohexylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259102-11-9 CAPLUS

CN 1-Propanol, 2-[[2-[(1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259102-12-0 CAPLUS

CN Alanine, N-[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]-, methyl ester (9CI)
(CA INDEX NAME)

RN 259102-13-1 CAPLUS

CN Cyclohexanol, 4-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ & | \\ HO-CH_2-C-NH \\ & Me \\ \hline N & N \\ \end{array}$$

RN 259102-14-2 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(4-phenylbutyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ & \\ HO-CH_2-C-NH \\ & \\ Me & \\ N & \\ NH-(CH_2)_4-Ph \\ \\ Ph-CH_2-S & \\ N & \\ \end{array}$$

RN 259102-15-3 CAPLUS

CN 1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ Me \\ N \\ NH-CH_2-S \\ N \end{array}$$

RN 259102-16-4 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-17-5 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-aminophenyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me & \\ \hline \\ N & \\ N & \\ \end{array}$$

259102-18-6 CAPLUS RNL-Valine, N-[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

259102-19-7 CAPLUS
Pentanamide, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-4-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

259102-20-0 CAPLUS RN

1-Propanol, 2-methyl-2-[[2-[(2-phenylethyl)amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2-\text{C-NH} & & \\ & \text{Me} & & \\ & \text{N} & & \\ & \text{NH-CH}_2-\text{CH}_2-\text{Ph} \\ \\ \text{Ph-CH}_2-\text{S} & & \text{N} \end{array}$$

259102-21-1 CAPLUS RN

1-Propanol, 2-[[2-[[(4-aminophenyl)methyl]amino]-5-CN[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-S} \\ \text{N} \\ \text{NH-CH}_2 \\ \text{NH-CH$$

RN

259102-22-2 CAPLUS 1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[2-(2-CN thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ & \\ HO-CH_2-C-NH \\ & \\ Me & \\ N & \\ N & \\ NH-CH_2-CH_2 \\ \end{array}$$

259102-23-3 CAPLUS RN

CN 1-Propanol, 2-[[2-[(2-fluoroethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ HO-CH_2-C-NH \\ Me & \\ N & \\ NH-CH_2-CH_2F \\ \end{array}$$

259102-24-4 CAPLUS RN

CN 1-Propanol, 2-methyl-2-[[2-[[(3-nitrophenyl)methyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ Me \\ N \\ NH-CH_2 \end{array}$$

RN 259102-25-5 CAPLUS

CN Benzenemethanol, $\alpha-[(1S)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]-, (<math>\alpha$ R)- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 259102-26-6 CAPLUS CN 1-Propanol, 2-methyl-2-[[5-[(

1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(3,4,5-trimethoxyphenyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{OMe} \\ \text{HO-CH}_2\text{-C-NH} & \text{OMe} \\ \text{Me} & \text{NH-CH}_2 \\ \text{OMe} \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-27-7 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-28-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-indol-3-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259102-29-9 CAPLUS

CN

1-Propanol, 2-[[2-[(1,1-dimethylpropyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ Me \\ Me \\ N \\ NH-C-Et \\ N \\ Me \\ NH-C-Et \\ NH-CH_2-S \\ NH-C-Et \\ NH-CH_2-S \\ NH-CH_2-S \\ NH-C-ET \\ NH-C-ET$$

RN 259102-30-2 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylbutyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \end{array}$$

RN 259102-31-3 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylhexyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ HO-CH_2-C-NH & Me \\ \hline Me & \\ Me & \\ NH-CH-(CH_2)_4-Me \\ \\ Ph-CH_2-S & N \end{array}$$

RN 259102-32-4 CAPLUS

CN 1-Propanol, 2-[[2-[[(2-aminophenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2\text{-C-NH} & & \\ \text{Me} & & \\ \text{N} & & \\ \text{NH-CH}_2\text{-S} & \\ \text{NH-CH}_2\text{-S} & \\ \end{array}$$

RN 259102-33-5 CAPLUS

CN 1,3-Propanediol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-34-6 CAPLUS
CN 1-Propanol, 2-[[2-[[2-(ethylthio)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} \\ & \text{NH-CH}_2\text{-CH}_2\text{-SEt} \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259102-35-7 CAPLUS

CN 1-Butanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-36-8 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-2-methoxyethyl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-37-9 CAPLUS

CN 1-Propanol, 2-[[2-(ethylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} & \text{N} \\ \text{Me} & \text{N} \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-38-0 CAPLUS

CN 1-Propanol, 2-[[2-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{CF}_3 \\ \text{HO-CH}_2\text{-C-NH} & \text{CF}_3 \\ \text{Me} & \text{NH-CH}_2 \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-39-1 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylpropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ | \\ HO-CH_2-C-NH & \\ Me & \\ Me & \\ NH-CH-Et \\ \\ Ph-CH_2-S & \\ N \end{array}$$

RN 259102-40-4 CAPLUS

CN 1-Propanol, 2-[[2-[[(4-methoxyphenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ \hline Me \\ N \\ \hline N \\ Ph-CH_2-S \\ N \\ \end{array}$$

RN 259102-41-5 CAPLUS

CN 1-Propanol, 2-[[2-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2\text{-C-NH} & & \\ & \text{Me} & & \\ & \text{Me} & & \\ & \text{NH-CH}_2\text{-CH}_2\text{-OH} \\ \\ \text{Ph-CH}_2\text{-S} & & \\ & \text{N} & & \\ \end{array}$$

RN 259102-42-6 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \end{array}$$

RN 259102-43-7 CAPLUS

CN 1-Propanol, 2-[[2-[(diphenylmethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259102-44-8 CAPLUS

CN 1-Butanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

RN 259102-45-9 CAPLUS

CN 1-Propanol, 2-[[2-[(2,2-diethoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ & | \\ \text{HO-CH}_2\text{-C-NH} & \text{OEt} \\ & | \\ \text{Me} & | \\ & | \\ \text{NH-CH}_2\text{-CH-OEt} \\ \\ \text{Ph-CH}_2\text{-S} & | \\ & | \\ & | \\ \end{array}$$

RN 259102-46-0 CAPLUS

CN 1-Butanol, 4-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & \\ | \\ HO-CH_2-C-NH \\ | \\ Me & \\ N \\ \\ Ph-CH_2-S & N \\ \end{array}$$

RN 259102-47-1 CAPLUS

CN Cyclohexanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (1S,2S)- (9CI) (CA INDEX NAME)

RN 259102-48-2 CAPLUS

CN 1-Propanol, 2-[[2-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ Me \\ NH-CH-CH_2-OH \\ \end{array}$$

RN 259102-49-3 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \end{array}$$

$$\begin{array}{c|c} Me \\ \hline \\ Me \\ \hline \\ N \\ \end{array}$$

$$\begin{array}{c|c} NH-CH_2-CH_2-O-CH_2-CH_2-OH \\ \hline \\ Ph-CH_2-S \\ \end{array}$$

RN 259102-50-6 CAPLUS

CN 1-Pentanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-51-7 CAPLUS

CN Acetamide, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-C-NH \\ \hline Me \\ NH-CH_2-C-NH_2 \\ \hline Ph-CH_2-S \\ N \end{array}$$

RN 259102-52-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-fluorophenyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

RN 259102-53-9 CAPLUS

CN Cyclohexanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-54-0 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

RN 259102-57-3 CAPLUS

CN 1-Propanol, 2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \text{HO-CH}_2\text{-CH-NH} \\ \\ \text{Ph-CH}_2\text{-S} \\ \end{array}$$

RN 259102-58-4 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-59-5 CAPLUS

CN L-Serine, N-[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 259102-61-9 CAPLUS
CN 1-Butanol, 2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-62-0 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-CH-NH \\ \hline \\ Ph-CH_2-S \\ \end{array}$$

RN 259102-63-1 CAPLUS
CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 259102-64-2 CAPLUS

CN L-Serine, N-[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-66-4 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-68-6 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[[1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} & \text{O} \\ & \text{Et-CH-NH} & \text{S-NH2} \\ & \text{NH-CH2-S} & \text{N} \end{array}$$

RN 259102-69-7 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O \\ HO-CH_2-CH-NH & S-NH_2 \\ \hline \\ Ph-CH_2-S & N \end{array}$$

RN 259102-70-0 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-71-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[(2-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} OH \\ Me-CH-CH_2-NH \\ S-NH_2 \\ Oh-CH_2-S \\ N \end{array}$$

RN 259102-73-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-ethyl-N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NHEt} \\ & \text{N} \\ & \text{N} \\ & \text{Ph-CH}_2-\text{S} \\ & \text{N} \\ \end{array}$$

RN 259102-74-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]-N7-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 259102-75-5 CAPLUS

CN 1-Butanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \text{NH-CH2-CH2} \\ & \text{NH-CH2-S} \\ \end{array}$$

RN 259102-76-6 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX

RN259102-77-7 CAPLUS

1-Pentanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

259102-78-8 CAPLUS 2-Propanol, 1-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

OH
$$Me-CH-CH_2-NH$$

$$NH-CH_2-CH_2$$

$$NH-CH_2-NH$$

$$NH-CH_2-CH_2$$

259102-79-9 CAPLUS RN

1-Pentanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-CN [(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{n-Pr-CH-NH} \\ & \text{N} \\ & \text{Ph-CH2-S} \\ \end{array}$$

RN 259102-80-2 CAPLUS

CN 4-Piperidinol, 1-[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-4-(phenylmethyl)- (9CI)
(CA INDEX NAME)

RN 259102-81-3 CAPLUS

CN 3-Piperidinecarboxamide, 1-[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 259102-82-4 CAPLUS

CN Ethanol, 2-[ethyl[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & & \\ \text{N-} \text{CH}_2\text{-}\text{CH}_2\text{-}\text{OH} \\ \hline & & \\ \text{N} & & \\ \text{N} & & \\ \text{Ph-} \text{CH}_2\text{-}\text{S} & & \\ \text{N} & & \\ \end{array}$$

RN 259102-83-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-[2-(1H-imidazol-4-yl)ethyl]-N7,N7-dimethyl-5-[(phenylmethyl)thio]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NMe}_2 \\ & \text{N} \\ & \text{N} \\ & \text{Ph-CH}_2-\text{S} \\ & \text{N} \\ \end{array}$$

RN 259102-84-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(diethylamino)ethyl]-N7-ethyl-N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \\ \text{N-} \text{CH}_2\text{-}\text{CH}_2\text{-}\text{NEt}_2 \\ \\ \text{N-} & \text{NH-} \text{CH}_2\text{-}\text{CH}_2 \\ \\ \text{Ph-} \text{CH}_2\text{-}\text{S} \\ \\ \text{N-} & \text{NH-} \\ \end{array}$$

RN 259102-86-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-(2-phenoxyethyl)-5[(phenylmethyl)thio]-N7-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ NH & & \\ CH_2-CH_2-OPh \\ & & \\ & & \\ Ph-CH_2-S & & \\ N & & \\ \end{array}$$

RN 259102-87-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-(2-phenoxyethyl)-N7-[1-(phenylmethyl)-4-piperidinyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 259102-88-0 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(2-phenoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} & \\ \text{N} & \\ \text{NH-CH}_2\text{-CH}_2\text{-OPh} \\ \\ \text{Ph-CH}_2\text{-S} & \\ \text{N} & \\ \end{array}$$

RN 259102-89-1 CAPLUS

CN 1-Propanol, 2-[[2-[(2-phenoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-90-4 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-[(2-phenoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 259102-91-5 CAPLUS
CN 4-Piperidinol, 1-[2-[(2-phenoxyethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-4-(phenylmethyl)- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO} & \text{CH}_2-\text{Ph} \\ \hline & N \\ & N \\$$

RN 259102-93-7 CAPLUS

CN 1-Butanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-94-8 CAPLUS

CN 1-Propanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-95-9 CAPLUS

CN 1-Pentanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-96-0 CAPLUS

CN L-Serine, N-[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-98-2 CAPLUS

CN 1-Pentanol, 2-[[2-[[1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-99-3 CAPLUS

CN L-Serine, N-[2-[[1-(hydroxymethyl)butyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (9CI)
(CA INDEX NAME)

RN 259103-00-9 CAPLUS

CN 1-Pentanol, 2-[[7-[cyclohexyl(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{CH}_2-\text{OH} \\ & & & & \text{CH}_2-\text{OH} \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 259103-01-0 CAPLUS

CN Ethanol, 2-[2-[[7-(ethylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NHEt} \\ & \text{S} & \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{O-CH}_2\text{--}\text{CH}_2\text{--}\text{OH} \\ & \text{Ph-CH}_2\text{--}\text{S} & \text{N} \end{array}$$

RN 259103-02-1 CAPLUS

CN Ethanol, 2-[2-[[7-[(1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-2-yl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NHPr-i} \\ & \text{NH-CH}_2\text{-}\text{CH}_2\text{-}\text{O-CH}_2\text{-}\text{CH}_2\text{-}\text{OH} \\ \\ \text{Ph-CH}_2\text{-}\text{S} & \text{N} \end{array}$$

RN 259103-03-2 CAPLUS

CN 1-Butanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH}_2\\ & \text{Et-CH-NH}\\ & \\ & \text{N} \\ & \text{Ph-CH}_2-\text{S} \\ & \text{N} \\ \end{array}$$

RN 259103-04-3 CAPLUS

CN 1-Pentanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-05-4 CAPLUS

CN Ethanol, 2-[cyclohexyl[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-06-5 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-(4-piperidinylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-08-7 CAPLUS

CN Acetamide, N-[2-[[7-[[1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 259103-09-8 CAPLUS

CN Acetamide, N-[2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 259103-10-1 CAPLUS

CN Acetamide, N-[2-[[7-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

HO-
$$CH_2$$
- CH_2 - NH

S
 NH - CH_2 - CH_2 - $NHAC$

Ph- CH_2 - S
 N

RN 259103-11-2 CAPLUS

CN Acetamide, N-[2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 259103-13-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methoxyethyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

RN 259103-14-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-ethoxyethyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

RN 259103-15-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2,2-dimethylpropyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_3\text{C}-\text{CH}_2-\text{NH} \\ \hline \\ \text{N} \\ \text{Ph}-\text{CH}_2-\text{S} \\ \end{array}$$

RN 259103-16-7 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI) (CA INDEX NAME)

RN 259103-17-8 CAPLUS

CN 2-Propanol, 1-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} \\ \text{Me-CH-CH}_2 - \text{NH} \\ \\ \text{N} \\ \text{Ph-CH}_2 - \text{S} \\ \\ \text{N} \\ \end{array}$$

RN 259103-18-9 CAPLUS

CN 1-Butanol, 2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \text{N} \\ & \text{Ph-CH2-S} \\ & \text{N} \\ \end{array}$$

RN 259103-19-0 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \text{HO-CH}_2\text{-CH-NH} \\ \\ \text{N} \\ \text{Ph-CH}_2\text{-S} \\ \text{N} \\ \end{array}$$

RN 259103-21-4 CAPLUS

CN 1-Pentanol, 2-[[2-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-22-5 CAPLUS

CN 3-Piperidinecarboxamide, N,N-diethyl-1-[2-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \\ & \parallel & \\ \text{Et}_2\text{N} - \text{C} & \\ & N & \\ & N & \\ \text{Ph} - \text{CH}_2 - \text{S} & N & \\ & N & \\ \end{array}$$

RN 259103-24-7 CAPLUS

CN 1-Pentanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-25-8 CAPLUS

CN 1-Butanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-26-9 CAPLUS

CN 1-Propanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-28-1 CAPLUS

CN Acetamide, 2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O \\ HO-CH_2-CH-NH & O \\ \hline N & NH-CH_2-C-NH_2 \\ \hline Ph-CH_2-S & N \end{array}$$

RN 259103-30-5 CAPLUS

CN 1-Piperazinesulfonamide, 4-[1-[7-[(4-methylcyclohexyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]-3-azetidinyl]- (9CI) (CA INDEX NAME)

RN 259103-32-7 CAPLUS

CN 1-Propanol, 3-[[2-[[2-(4-morpholinyl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-33-8 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259103-34-9 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ HO-CH_2-CH-NH \\ \hline \\ N \\ Ph-CH_2-S \\ N \\ \end{array}$$

RN 259103-35-0 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 259104-23-9 CAPLUS

CN 1-Butanol, 4-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

IT 259103-44-1P 259103-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel thiazolopyrimidines as modulators of chemokine receptor activity)

RN 259103-44-1 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 259103-45-2 CAPLUS

CN 1-Propanol, 2-[[2-bromo-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

GΙ

$$R1$$
 N
 N
 S
 R^2
 I

AB The title compds. [I; R1 = H, NR3R4 (wherein R3, R4 = H, 4-piperidinyl, alkyl, etc.; NR3R4 = (un)substituted 4-7 membered saturated heterocyclic ring); X = OH, NR13R14 (R13, R14 = H, 4-piperidinyl, etc.; NR13R14 = (un)substituted 4-7 membered saturated heterocyclic ring); R2 = alkyl, alkenyl optionally substituted by (un)substituted Ph or PhO], useful for treating a chemokine mediated disease wherein the chemokine binds to a CXCR2 receptor such as an inflammatory disease (e.g. psoriasis), were prepared Thus, treating 2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7(4H)-one with POC13 and N,N-dimethylaniline followed by reacting the resulting 7-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-amine with (R)-2-amino-1-butanol in THF afforded the title thiazolopyrimidine (2R)-II. Exemplified compds. I were found to have IC50 of < 10 μM against hrCXCR2 binding.

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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10

T. 6

ACCESSION NUMBER: 1996:243961 CAPLUS

DOCUMENT NUMBER: 125:10744

ANSWER 11 OF 14

TITLE: New synthesis of substituted 4-aminoquinazolines and

CAPLUS COPYRIGHT 2006 ACS on STN

their hetero analogs

AUTHOR(S): Gewald, K.; Schaefer, H.; Eckert, K.; Jeschke, T.

CORPORATE SOURCE: Inst. Org. Chem., Technischen Univ. Dresden, Dresden,

Germany

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung

(1996), 338(3), 206-13

CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Barth
DOCUMENT TYPE: Journal
LANGUAGE: German

OTHER SOURCE(S): CASREACT 125:10744

IT 146381-64-8P

REFERENCE COUNT:

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted aminoquinazolines and their hetero analogs) RN 146381-64-8 CAPLUS

IT 146381-65-9P 177355-96-3P 177355-97-4P 177355-98-5P 177356-01-3P 177356-02-4P

177356-03-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of substituted aminoquinazolines and their hetero analogs)

RN 146381-65-9 CAPLUS

CN Acetic acid, [[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-yl]thio]-(9CI) (CA INDEX NAME)

RN 177355-96-3 CAPLUS

CN Acetamide, 2-[[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-yl]thio]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Ph-CH}_2-\mathsf{NH-C-CH}_2-\mathsf{S} & \mathsf{N} & \mathsf{N} & \mathsf{SMe} \\ \hline \\ \mathsf{N} & \mathsf{S} & \mathsf{N} & \mathsf{N} & \mathsf{S} & \mathsf{SMe} \\ \\ \mathsf{NH}_2 & \mathsf{NH}_2 & \mathsf{N} & \mathsf{N} & \mathsf{N} & \mathsf{S} & \mathsf{SMe} \\ \end{array}$$

RN 177355-97-4 CAPLUS

CN Piperidine, 1-[[[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-yl]thio]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & C \\$$

RN 177355-98-5 CAPLUS

CN Morpholine, 4-[[[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-

yl]thio]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & C \\
 & N \\$$

RN 177356-01-3 CAPLUS

CN Acetamide, 2-[[7-amino-2-[(phenylmethyl)amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ Ph-CH_2-NH-C-CH_2-S \\ \hline N \\ N \\ NH_2 \end{array}$$

RN 177356-02-4 CAPLUS

CN Piperidine, 1-[[[7-amino-2-(1-piperidinyl)thiazolo[4,5-d]pyrimidin-5-yl]thio]acetyl]- (9CI) (CA INDEX NAME)

RN 177356-03-5 CAPLUS

CN Morpholine, 4-[[[7-amino-2-(4-morpholinyl)thiazolo[4,5-d]pyrimidin-5-yl]thio]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & C \\$$

AB N-(chloroacetyl)anthranilonitrile reacted with KSCN in the presence of EtOH to the quinazolinylthioacetic acid ester I (R = SCH2CO2Et, R1 = H). In the presence of H2O or primary amine the derivs. I (R = SCH2CO2H, SCH2CONHR2) were obtained. Diaminoquinazolines I [R = NR2R3; R1, R2 = CH2Ph, NH2, R3 = H; R1 = H, R2R3 = -(CH2)5-] arose if vigorous reaction conditions are employed. Starting from 2-(chloroacetyl)aminocyclopent-1-enecarbonitrile the pyrimidines II [R = SCH2COR4; R4 = OH, OMe, NHCH2Ph, HNNH2, NH(CH2)2NEt2] were formed with KSCN. Analogously, pyrimidylselenoacetic acid derivs. were prepared with KSeCN. N-chloroacetyl derivs. of 5-membered heterocycles with enaminonitrile structure reacted with KSCN to yield thieno[2,3-d]-, thiazolo[4,5-d]-, pyrrolo[2.3-d]-, furo[2,3-d], and pyrazolo[4,3-d]pyrimidines.

L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1993:147575 CAPLUS

DOCUMENT NUMBER:

118:147575

TITLE:

Preparation of (arenopyrimidinylthio) acetates and

analogs

INVENTOR(S):

Gewald, Karl; Schaefer, Harry; Jeschke, Torsten; Eckert, Katrin; Faust, Gottfried; Laban, Gunter

PATENT ASSIGNEE(S):

Arzneimittelwerk Dresden GmbH, Germany

SOURCE:

Ger. Offen., 7 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
DE 4119767	A1	19921217	DE 1991-4119767	19910615		
PRIORITY APPLN. INFO.:			DE 1991-4119767	19910615		
OTHER SOURCE(S):CASREACT 118:147575; MARPAT 118:147575						

IT 146381-64-8P 146381-65-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, method for)

RN 146381-64-8 CAPLUS

CN Acetic acid, [[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-yl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

RN 146381-65-9 CAPLUS

CN Acetic acid, [[7-amino-2-(methylthio)thiazolo[4,5-d]pyrimidin-5-yl]thio]-

GΙ

AB Title compds. [I; A = atoms to complete a (substituted) aromatic or heterocyclic ring; R1 = NH2, OH; R2 = OH, alkoxy; X = Se, S; dashed lined = optional bond] were prepared by cyclocondensation of acetamidocyclic compds. II (R = halo, Y = cyano, alkoxycarbonyl) with SCN- or SeCN- in the presence of R2H. Thus, 2-(NC)C6H4NHCOCH2Cl was refluxed 3 h with KSCN in EtOH to give 69% title compound III.

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1955:84542 CAPLUS

DOCUMENT NUMBER:

49:84542

ORIGINAL REFERENCE NO.:

49:15981g-i,15982a-b

2-Amino-5,7-disubstituted thiazolo(4,5-d)pyrimidines

PATENT ASSIGNEE(S): Wellcome Foundation Ltd.

DOCUMENT TYPE:

Patent

LANGUAGE:

Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 713652		19540818	GB 1951-13173	19510604
IT	30162-03-9, Thiazol	o[4,5-d]pyrimidine,	2,7-diamino-5-(met	hylthio)-
	(preparation of)				
RN	30162-03-9 CAPLUS		*		
CN	Thiazolo[4,5-d]pyri	midine-	2,7-diamine,	5-(methylthio)- (9	CI) (CA INDEX
	NAME)			•	

GI For diagram(s), see printed CA Issue.

The preparation of I is covered, in which R is H, Me, NH2, OH, or ZS (Z = alkyl group containing 1 to 5 C atoms) and R' is NH2, OH, or Me with either R or R' being OH or NH2. To 2,4-diamino-6-methylpyrimidine (12.4 g.) and 40 g. KSCN in 200 ml. 96% AcOH was added in subdued light with ice-cooling and stirring, 6 ml. Br in 100 ml. HOAc, stirred an addnl. 40 min., the temperature was raised to 70°, 50 ml. of H2O added and light admitted to increase the polymerization rate of the excess thiocyanogen (II). The insol. orange polymer of II was filtered from the hot solution, the filtrate cooled in an ice-bath and made alkaline by the addition of concentrated NH4OH.

The

resulting yellow solid was let stand overnight at 5°. and filtered to yield 10.1 g. 2,5-diamino-7-methylthiazolo-(4,5-d)pyrimidine hydrate, m. 249-50° (from 95% EtOH). In an analogous manner, the following I were prepared from the appropriate pyrimidine (R, R', m.p. given): NH2, Me, >300°; NH2, NH2, >300°; OH, OH, >310°; NH2, MeS, 208-9°; OH, NH2, >310°; NH2, H, 245-7°. Most of these compds. are monohydrates; the H2O of hydration is lost at 140° in vacuo, but is regained upon exposure to air. These compds. are growth-inhibitors for microorganisms, being particularly active for Lactobacillus casei, Bacillus subtilis, Salmponella typhosa, Staphylococcus aureus, and Escherichia coli.

L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1952:23490 CAPLUS

DOCUMENT NUMBER:

46:23490

ORIGINAL REFERENCE NO.:

46:4008c-i

TITLE:

Condensed pyrimidine systems. VI. Some

2-aminothiazolo[4, 5-d]-pyrimidines

CORPORATE SOURCE:

Wellcome Research Labs., Tuckahoe, NY

SOURCE:

Journal of the American Chemical Society (1951), 73,

短端链 图 酶产

4226-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE:

. Journal

LANGUAGE: TRANSPORT - TO THE Unavailable

30162-03-9, Thiazolo[4,5-d]pyrimidine, 2,7-diamino-5-(methylthio)-

(preparation of)

RN 30162-03-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-(methylthio)- (9CI) (CA INDEX

AB cf. C.A. 45, 8536h. Thiocyanation in the 5-position occurs readily with pyrimidines bearing at least 2 OH or NH2 groups in positions 2, 4, and 6. The 4-amino-5-thiocyanopyrimidines are readily cyclized to 2-aminothiazolo[4, 5-d]pyrimidines. An alternate synthesis of thiazolo[4,

5-d]pyrimidines bearing nonfunctional substituents in the 2- and 4-position was also developed. Two general methods of preparation of thiazolopyrimidines were used: Method A. 2, 4-Diamino-6-methylpyrimidine (12.4 g.) and 40 g. KSCN in 200 cc. 96% AcOH treated with 6 cc. Br in 100 cc. AcOH during 30 min. (ice bath and darkened room), the ice bath removed, the mixture stirred 40 min., heated to 70°, diluted with 50 cc. hot water (lights on), filtered hot, the filtrate (ice bath) slowly made alkaline with concentrated NH4OH, and the product allowed to stand overnight at

5° yielded 10.1 g. 2, 5-diamino-7-methylthiazolo-[4, 5-d]pyrimidine-H2O, m. 249-50° (from EtOH). The hydrates lose water of crystallization after 3 hrs. at 140° in vacuo, but tend to regain it on exposure to air. Method B. POCl3 (100 cc.) and 30 g. 2-ethylmercapto-4-hydroxy-6-methylpyrimidine heated 1 hr. on the steam bath, most of the POCl3 removed in vacuo, 200 g. ice and 200 cc. Et2O added to the oil, then NH4OH to pH 8-9, the Et2O layer added to 100 cc. EtOH containing 17 g. KSCN, the Et2O removed, 100 cc. PhMe added, the solution concentrated to 75°, 100 cc. xylene added, the mixture refluxed 2 hrs., filtered warm, and 20 cc. concentrated NH4OH added to the filtrate yielded 18

2-ethylmercapto-6-methyl-4-thioureidopyrimidine (I), m. 235-6°. Powdered I (4.4 g.) in 20 cc. CHCl3 treated during 15 min. with 1 cc. Br in 10 cc. CHCl3 (temperature below 30°), the solution evaporated to dryness on the steam bath, the residue treated 10 min. with 2 g. Na2S2O4 in 50 cc. water, and the oil treated with excess NH3 yielded 4 g. 2-amino-5-ethylmercapto-7methylthiazolo[4, 5-d]pyrimidine, m. 187-9° (from 50% EtOH). 2-Amino-4-hydroxy-6-phenylpyrimidine (4.7 g.) and 6.2 g. NaSCN, in 200 cc. warm MeOH saturated with NaBr and 50 cc. AcOH, treated at 5° during 20 min. with 1.8 cc. Br in 50 cc. AcOH, the mixture stirred 1 hr. without an ice bath, heated to boiling, 20 cc. hot water added, the hot solution filtered, brought to pH 6 with 10% NH3, and most of the alc. removed in vacuo yielded 4.1 g. 2-amino-4-hydroxy-6-phenyl-5-thiocyanopyrimidine (II), m. 287-9° (decomposition). II (0.5 g.) in 30 cc. 10% NaOH let stand overnight, diluted to 200 cc., filtered, and the filtrate brought to pH 6 with 10% AcOH yielded 100% bis(2-amino-4-hydroxy-6-phenyl-5pyrimidyl) disulfide, pale yellow crystalline precipitate, m. above 300°. For the 5-R-7-R'-disubstituted 2-aminothiazolo[4, 5-d]pyrimidines (prepared by Method A), R, R' m.p., yield (%), and recrystn. solvent are: NH2, Me, 249-50°, 52, EtOH; Me, NH2, above 300°, 63, EtOH; NH2, NH2, above 300°, 75, water; OH, OH, above 310°, 45, water; MeS, NH2, 208-9°, 79, 50% EtOH; NH2, OH, above 310°, 72, water; H, NH2, 245-7°, 54, water. Biologically the compds. show several resemblances to other purine analogs. CANTOLIES WHEEL "连续"的第三级

---Logging off of STN---

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=> LOG Y

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72.00 239.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

STN INTERNATIONAL LOGOFF AT 09:41:50 ON 23 SEP 2006